Nateglinide

Cat. No.: HY-B0422

CAS No.: 105816-04-4

Molecular Formula: $C_{19}H_{27}NO_3$

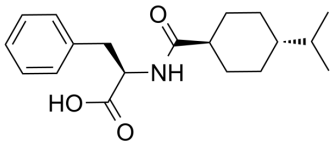
Molecular Weight: 317.42

Target: Potassium Channel; Dipeptidyl Peptidase

Pathway: Membrane Transporter/Ion Channel; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (315.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1504 mL	15.7520 mL	31.5040 mL
	5 mM	0.6301 mL	3.1504 mL	6.3008 mL
	10 mM	0.3150 mL	1.5752 mL	3.1504 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nateglinide, a D-phenylalanine derivative, is an orally active and short-acting insulinotropic agent and a DPP IV inhibitor. Nateglinide inhibits ATP-sensitive K^+ channels in pancreatic β-cells. Nateglinide is used for the treatment of type 2 (non-insulin-dependent) diabetes mellitus[1][2].

In Vitro

Nateglinide inhibits typical recordings of dinitrophenol-induced K_{ATP} currents in a concentration-dependent manner. Nateglinide exhibits IC_{50} values of 7.4 μM and 2.4 μM for 5 mM glucose (G5) and 16 mM (G16) glucose, respectively[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[2]

Cell Line:	Rat pancreatic β-cells.
Concentration:	0-100 μM.
Incubation Time:	~20 min.
Result:	Produced a complete inhibition of KATP current at concentration of 3 μM.

In Vivo

Nateglinide (50mg/kg, orally in mice) stimulates human C-peptide secretion in the humanized mice and improved postprandial glucose concentrations[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice[3].
Dosage:	50mg/kg.
Administration:	Orally at 60min before oral administration of 4 g/kg glucose.
Result:	Stimulates human C-peptide secretion.

REFERENCES

[1]. Christopher J. Dunn, et al. Nateglinide. OFILE Drugs 2000 Sep: 60 (3): 6.

[2]. Shiling Hu, et al. Interaction of nateglinide with KATP channel in h-cells underlies its unique insulinotropic action. European Journal of Pharmacology. 442 (2002) 163-171.

[3]. Jian Luo, et al. Evaluating insulin secretagogues in a humanized mouse model with functional human islets. Metabolism. 2013 Jan;62(1):90-9.

[4]. Duffy NA, et al. Effects of antidiabetic drugs on dipeptidyl peptidase IV activity: nateglinide is an inhibitor of DPP IV and augments the antidiabetic activity of glucagon-like peptide-1. Eur J Pharmacol. 2007 Jul 30;568(1-3):278-86.

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